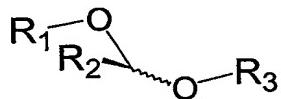


CLAIMS

WHAT IS CLAIMED IS:

1. A composition comprising a compound conjugated to an adduct of a dialkoxy substance and a guanidinylation reagent.
2. The composition of claim 1, wherein the dialkoxy substance is an acetal or a ketal.
3. The composition of claim 1, wherein the guanidinylation reagent comprises a guanidine or alkylguanidine moiety.
4. The composition of claim 1, wherein the dialkoxy substance comprises at least one cyclic acetal having the formula:



wherein R₁, R₂, and/or R₃ groups comprise two or more 5- or 6-membered rings which are linked together by at least one acetal functional group and wherein R₁-R₂, and R₃ are the carbon atoms of two separate ring systems.

5. The composition of claim 2, wherein the cyclic acetal is a glycoside.
6. The composition of claim 5, wherein the glycoside is an aminoglycoside.
7. The composition of claim 1, wherein the beneficial compound in the conjugate is covalently bonded to the adduct.
8. The composition of claim 1, wherein the dialkoxy substance is selected from the group consisting of amikacin, gentamicin, kanamycin, neomycin, netilmicin, O-2,6-diamino-2,6-dideoxy-beta-L-idopyranosyl-(1 to 3)-O-beta-D-ribofuranosyl-(1 to 5)-O-[2-

amino-2-deoxy-alpha-D-glucopyranosyl-(1 to 4)]-2-deoxystreptamine, streptomycin, tobramycin, ouabain, deslanoside, digoxin, digitoxin, lantoside and strophanthin.

9. The composition of claim 1, wherein the beneficial compound is selected from the group consisting of a nucleic acid, nucleoside, protein, peptide, amino acid residue, lipid, carbohydrate, synthetic organic compound, metal, vitamin, small molecule, dye, isotope, antibody, toxin and ligand.

10. The composition of claim 1, wherein the beneficial compound comprises a nucleoside, wherein the nucleoside is a reverse transcriptase inhibitor.

11. The composition of claim 10, wherein the reverse transcriptase inhibitor is selected from the group consisting of 3'-azido-3'-deoxythymidine, 2',3'-dideoxyinosine and 2',3'-dideoxycytidine.

12. The composition of claim 10, wherein the reverse transcriptase inhibitor is conjugated to an aminoglycoside.

13. The composition of claim 12, wherein the aminoglycoside is selected from the group consisting of amikacin, gentamicin, kanamycin, neomycin, netilmicin, O-2,6-diamino-2,6-dideoxy-beta-L-idopyranosyl-(1 to 3)-O-beta-D-ribofuranosyl-(1 to 5)-O-[2-amino-2-deoxy-alpha-D-glucopyranosyl-(1 to 4)]-2-deoxystreptamine, streptomycin and tobramycin.

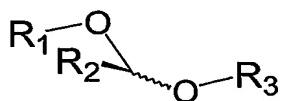
14. A method of increasing the cellular uptake of a beneficial compound, comprising:

- (a) modifying a dialkoxy substance by treating the dialkoxy compound with a guanidinylation reagent to form an adduct;
- (b) conjugating the adduct with the beneficial compound to form a conjugate; and
- (c) delivering the conjugate to a cell.

15. The method of claim 14, wherein the dialkoxy substance is an acetal or a ketal.

16. The method of claim 14, wherein the guanidinyling reagent comprises a guanidine or alkylguanidine moiety.

17. The method of claim 14, wherein the dialkoxy substance comprises at least one cyclic acetal having the formula:



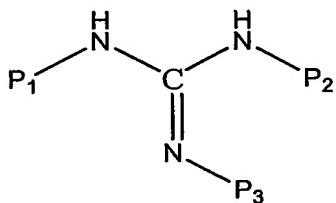
wherein R₁, R₂, and/or R₃ groups comprise two or more 5- or 6-membered rings which are linked together by at least one acetal functional group and wherein R₁-R₂, and R₃ are the carbon atoms of two separate ring systems.

18. The method of claim 14, wherein the cyclic acetal is a glycoside.

19. The method of claim 18, wherein the glycoside is an aminoglycoside.

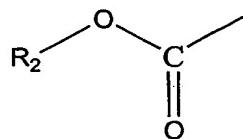
20. The method of claim 18 or 19, wherein in treating the glycoside, the guanidinyling reagent is reacted with at least one primary or secondary alcohol of the glycoside to produce a guanidinoglycoside.

21. The method of claim 20, wherein the guanidinyling reagent has the general formula:



wherein each of P₁, P₂ and P₃ is, independently, the same or different protecting group, each protecting group having the general structure:

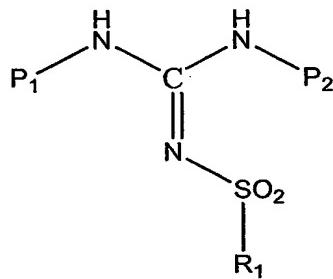
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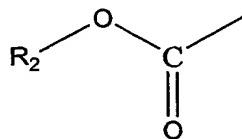
wherein R₂ is a substituted or unsubstituted alkyl, aryl, or heterocyclic group.

22. The method of claim 18 or 19, wherein in treating the glycoside, the guanidinyling reagent is reacted with at least one primary or secondary amine of the glycoside to produce a guanidinoglycoside.

23. The method of claim 22, wherein the guanidinyling reagent has the general formula:



wherein R₁ is trifluoromethyl group, and each of P₁, P₂ and P₃ is, independently, the same or different protecting group, each protecting group having the general structure:



wherein R₂ is a substituted or unsubstituted alkyl, aryl, or heterocyclic group.

24. The method of claim 14, wherein the beneficial compound in the conjugate is covalently bonded to the adduct.

25. The method of claim 14, wherein the the dialokoxy compound is selected from the group consisting of amikacin, gentamicin, kanamycin, neomycin, netilmicin, O-2,6-

diamino-2,6-dideoxy-beta-L-idopyranosyl-(1 to 3)-O-beta-D-ribofuranosyl-(1 to 5)-O-[2-amino-2-deoxy-alpha-D-glucopyranosyl-(1 to 4)]-2-deoxystreptamine, streptomycin, tobramycin, ouabain, deslanoside, digoxin, digitoxin, lantoside and strophanthin.

26. The method of claim 14, wherein the beneficial compound is selected from the group consisting of a nucleic acid, nucleoside, protein, peptide, amino acid residue, lipid, carbohydrate, synthetic organic compound, metal, vitamin, small molecule, dye, isotope, antibody, toxin and ligand.

27. The method of claim 14, wherein the beneficial compound comprises a nucleoside, wherein the nucleoside is a reverse transcriptase inhibitor.

28. The method of claim 27, wherein the reverse transcriptase inhibitor is selected from the group consisting of 3'-azido-3'-deoxythymidine, 2',3'-dideoxyinosine and 2',3'-dideoxycytidine.

29. The method of claim 27, wherein the reverse transcriptase inhibitor is conjugated to an aminoglycoside.

30. The method of claim 29, wherein the aminoglycoside is selected from the group consisting of amikacin, gentamicin, kanamycin, neomycin, netilmicin, O-2,6-diamino-2,6-dideoxy-beta-L-idopyranosyl-(1 to 3)-O-beta-D-ribofuranosyl-(1 to 5)-O-[2-amino-2-deoxy-alpha-D-glucopyranosyl-(1 to 4)]-2-deoxystreptamine, streptomycin and tobramycin.